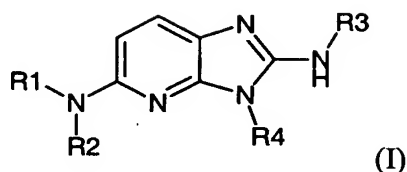


## CLAIMS

### 1. Compound of general formula (I)



5 in racemic, or enantiomeric form or any combinations of these forms and in which:

$R_1$  and  $R_2$  represent, independently, the hydrogen atom; a  $(C_1-C_8)$ alkyl radical optionally substituted by hydroxy;  $(C_2-C_6)$ alkenyl; a bicycloalkyl; or a radical of formula  $-(CH_2)_n-X_1$  or  $-X-(CH_2)_{n'}-X'_1$ ;

X represents  $-C(O)-$  or  $-C(S)-NH-$ ;

10  $X_1$  represents a  $(C_1-C_6)$ alkoxy,  $(C_3-C_7)$ cycloalkyl, adamantyl, heterocycloalkyl, aryl or heteroaryl radical,

the  $(C_3-C_7)$ cycloalkyl, heterocycloalkyl, aryl and heteroaryl radicals being optionally substituted by one or more identical or different substituents chosen from:  $-(CH_2)_{n_1}-V_1-Y_1$ , halo, nitro and cyano;

15  $V_1$  represents  $-O-$ ,  $-S-$  or a covalent bond;

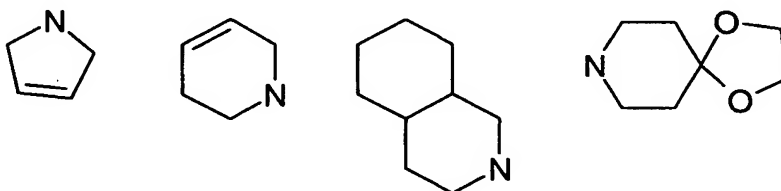
$Y_1$  represents a  $(C_1-C_6)$ alkyl radical optionally substituted by one or more identical or different halo radicals, or aryl;

$n$  and  $n'$  represent an integer from 0 to 6 and  $n_1$  an integer from 0 to 2 (it being understood that when  $n$  is equal to 0, then  $X_1$  does not represent the alkoxy radical);

20

X<sub>1</sub>' represents the hydrogen atom, a (C<sub>1</sub>-C<sub>6</sub>)alkyl radical optionally substituted by one or more identical or different halo radicals, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl; or aryl optionally substituted by one or more identical or different substituents chosen from: halo, nitro, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkyl-carbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted by one or more  
 5 identical or different halo radicals, and (C<sub>1</sub>-C<sub>6</sub>)alkoxy optionally substituted by one or more identical or different halo radicals;

or R<sub>1</sub> and R<sub>2</sub> form together, with the nitrogen atom to which they are attached, a heterobicycloalkyl or a heterocycloalkyl optionally substituted by one or more identical or different substituents chosen from: hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted by  
 10 hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-carbonyl, -(CH<sub>2</sub>)<sub>n</sub>-A, -C(O)-NV<sub>1</sub>'Y<sub>1</sub>', and heterocycloalkyl; or R<sub>1</sub> and R<sub>2</sub> form together a radical of formula:



V<sub>1</sub>' and Y<sub>1</sub>' represent, independently, the hydrogen atom or a (C<sub>1</sub>-C<sub>6</sub>)alkyl;

A represents an aryl radical optionally substituted by one or more identical or different substituents chosen from: halo, nitro, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted by one or more identical or different halo radicals, and (C<sub>1</sub>-C<sub>6</sub>)alkoxy optionally substituted by  
 15 one or more identical or different halo radicals;

n'' represents an integer from 0 to 2;

R<sub>3</sub> represents -Z<sub>3</sub>, -C(R<sub>Z3</sub>)(R'<sub>Z3</sub>)-Z<sub>3</sub>, -C(R<sub>Z3</sub>)(R'<sub>Z3</sub>)-(CH<sub>2</sub>)<sub>p</sub>-Z<sub>3</sub> or -C(O)-Z<sub>3</sub>;

R<sub>Z3</sub> and R'<sub>Z3</sub> represent, independently, the hydrogen atom or a (C<sub>1</sub>-C<sub>6</sub>)alkyl  
 20 radical;

Z<sub>3</sub> represents Z<sub>3a</sub>, Z<sub>3b</sub>, Z<sub>3c</sub>, Z<sub>3d</sub>, or Z<sub>3e</sub>;

Z<sub>3a</sub> represents a (C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>2</sub>-C<sub>6</sub>)alkenyl radical;

$Z_{3b}$  represents a  $(C_1-C_6)$ alkoxy,  $(C_1-C_6)$ alkylthio,  $(C_1-C_6)$ alkylamino or di $((C_1-C_6)$ alkyl)amino radical;

$Z_{3c}$  represents an aryl or heteroaryl radical;

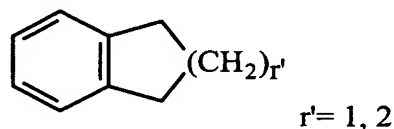
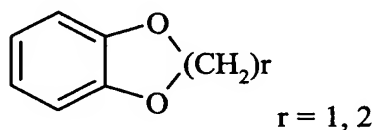
the aryl and heteroaryl radicals being optionally substituted by one or more identical or different substituents chosen from: halo, cyano, nitro, azido, oxy or  $-(CH_2)_p-V_3-Y_3$ ;

$V_3$  represents  $-O-$ ,  $-S-$ ,  $-C(O)-$ ,  $-C(O)-O-$ ,  $-O(CO)-$ ,  $-SO_2-$ ,  $-SO_2NH-$ ,  $-NR'_3-SO_2-$ ,  $-NR'_3-$ ,  $-NR'_3-C(O)-$ ,  $-C(O)-NR'_3-$ ,  $-NH-C(O)-NR'_3-$  or a covalent bond;

$Y_3$  represents the hydrogen atom or a  $(C_1-C_6)$ alkyl radical optionally substituted by one or more identical or different halo radicals; an aryl radical optionally substituted by one or more identical or different substituents chosen from: halo, nitro,  $(C_1-C_6)$ alkyl and  $(C_1-C_6)$ alkoxy; or an aryl- $(C_1-C_6)$ alkyl radical optionally substituted by one or more identical or different substituents chosen from: halo, nitro,  $(C_1-C_6)$ alkyl and  $(C_1-C_6)$ alkoxy;

$Z_{3d}$  represents a  $(C_1-C_6)$ alkoxy-carbonyl, amino-carbonyl,  $(C_1-C_6)$ alkylamino-carbonyl, di $((C_1-C_6)$ alkyl)amino-carbonyl radical;

$Z_{3e}$  represents a  $(C_1-C_6)$ alkyl- $C(O)-NH-$ ,  $(C_3-C_7)$ cycloalkyl, heterocycloalkyl radical or a radical of formula



the  $(C_3-C_7)$  cycloalkyl and heterocycloalkyl radicals being optionally substituted by one or more identical or different oxy or  $(C_1-C_6)$ alkyl radicals,

$Z'_3$  represents an aryl radical optionally substituted by one or more identical or different substituents chosen from: halo, nitro and  $-(CH_2)_p-V'_3-Y'_3$ ;

V'<sub>3</sub> represents -O-, -C(O)-, -C(O)-O-, -C(O)-NR'<sub>3</sub>-, -NR'<sub>3</sub>-C(O)-, -NH-C(O)-NR'<sub>3</sub> or a covalent bond;

Y'<sub>3</sub> represents the hydrogen atom or a (C<sub>1</sub>-C<sub>6</sub>)alkyl radical optionally substituted by one or more identical or different halo radicals;

5 R'<sub>3</sub> represents the hydrogen atom, a (C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)alkoxy radical;

p, p' and p'' represent, independently, an integer from 0 to 6;

R<sub>4</sub> represents a radical of formula -(CH<sub>2</sub>)<sub>s</sub>-R'<sub>4</sub>

R'<sub>4</sub> represents a heterocycloalkyl containing at least one nitrogen atom and optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)alkyl or aralkyl; a heteroaryl containing at least one nitrogen atom  
10 and optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)alkyl; or a radical of formula -NW<sub>4</sub>W'<sub>4</sub>

W<sub>4</sub> represents the hydrogen atom or (C<sub>1</sub>-C<sub>8</sub>)alkyl;

W'<sub>4</sub> represents a radical of formula -(CH<sub>2</sub>)<sub>s</sub>-Z<sub>4</sub>;

Z<sub>4</sub> represents the hydrogen atom, (C<sub>1</sub>-C<sub>8</sub>)alkyl; (C<sub>2</sub>-C<sub>6</sub>)alkenyl; (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl optionally substituted by one or more identical or different (C<sub>1</sub>-C<sub>6</sub>)alkyl  
15 substituents; cyclohexene; heteroaryl; aryl optionally substituted by one or more identical or different radicals chosen from: -(CH<sub>2</sub>)<sub>s</sub>-V<sub>4</sub>-Y<sub>4</sub>, halo and nitro;

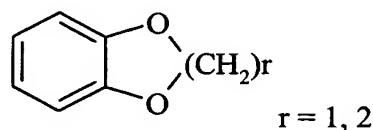
V<sub>4</sub> represents -O-, -S-, -NH-C(O)-, -NV<sub>4</sub>'- or a covalent bond;

Y<sub>4</sub> represents a hydrogen atom or a (C<sub>1</sub>-C<sub>6</sub>)alkyl radical optionally substituted by one or more identical or different halo radicals;

20 V<sub>4</sub>' represents a hydrogen atom or a (C<sub>1</sub>-C<sub>6</sub>)alkyl;

s'' represents an integer from 0 to 4;

or Z<sub>4</sub> represents a radical of formula



s and s' represent, independently, an integer from 0 to 6;

or a pharmaceutically acceptable salt thereof.

2. Compound according to claim 1, characterized in that

R<sub>1</sub> and R<sub>2</sub> represent, independently, the hydrogen atom, a (C<sub>1</sub>-C<sub>8</sub>)alkyl, a bicycloalkyl  
5 radical or a radical of formula -(CH<sub>2</sub>)<sub>n</sub>-X<sub>1</sub> or -X-(CH<sub>2</sub>)<sub>n</sub>'-X'<sub>1</sub>;

X represents -C(O)- or -C(S)-NH-;

X<sub>1</sub> represents a (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl radical optionally substituted by a (C<sub>1</sub>-C<sub>6</sub>)alkyl, or heteroaryl;

X'<sub>1</sub> represents the hydrogen atom, a (C<sub>1</sub>-C<sub>6</sub>)alkyl radical optionally substituted by one or  
10 more identical or different halo radicals, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl or aryl optionally substituted by a (C<sub>1</sub>-C<sub>6</sub>)alkyl-carbonyl;

or R<sub>1</sub> and R<sub>2</sub> form together, with the nitrogen atom to which they are attached, a heterobicycloalkyl or a heterocycloalkyl optionally substituted by one or more identical  
15 or different substituents chosen from: (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-carbonyl and -(CH<sub>2</sub>)<sub>n</sub>"-A;

A represents an aryl radical optionally substituted by one or more identical or different substituents chosen from: halo and (C<sub>1</sub>-C<sub>6</sub>)alkyl;

n" represents an integer from 0 to 1;

R<sub>4</sub> represents a radical of formula-(CH<sub>2</sub>)<sub>s</sub>-R'<sub>4</sub>

20 R'<sub>4</sub> represents a heterocycloalkyl containing at least one nitrogen atom and optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)alkyl; or a radical of formula -NW<sub>4</sub>W'<sub>4</sub>

W<sub>4</sub> represents the hydrogen atom or (C<sub>1</sub>-C<sub>8</sub>)alkyl;

W'<sub>4</sub> represents a radical of formula -(CH<sub>2</sub>)<sub>s</sub>-Z<sub>4</sub>;

Z<sub>4</sub> represents the hydrogen atom, (C<sub>1</sub>-C<sub>8</sub>)alkyl or aryl optionally substituted by one or more identical or different radicals chosen from: -(CH<sub>2</sub>)<sub>s</sub>-V<sub>4</sub>-Y<sub>4</sub>;

5 V<sub>4</sub> represents -O-;

Y<sub>4</sub> represents a (C<sub>1</sub>-C<sub>6</sub>)alkyl radical optionally substituted by one or more identical or different halo radicals;

s" represents an integer from 0 to 4;

s and s' represent, independently, an integer from 1 to 4;

10 or a pharmaceutically acceptable salt thereof.

3. Compound according to claim 2, characterized in that it comprises at least one of the following characteristics:

- the cycloalkyl radical is chosen from cyclopropyl, cyclobutyl and cyclohexyl;

- the bicycloalkyl radical is bicyclo[2,2,1]heptane;

15 - the heterobicycloalkyl is 7-aza-bicyclo[2,2,1]heptane;

- the aryl radical is the phenyl radical;

- the heteroaryl radical is the furyl radical;

- the heterocycloalkyl is chosen from piperidine, morpholine and piperazine;

or a pharmaceutically acceptable salt thereof.

20 4. Compound according to one of claims 1 to 2, characterized in that

R<sub>1</sub> and R<sub>2</sub> represent, independently, the hydrogen atom, a (C<sub>1</sub>-C<sub>8</sub>)alkyl radical or a radical of formula -(CH<sub>2</sub>)<sub>n</sub>-X<sub>1</sub> or -X-(CH<sub>2</sub>)<sub>n</sub>-X'<sub>1</sub>;

X represents  $-\text{C}(\text{O})-$ ;

$\text{X}_1$  represents a  $(\text{C}_3\text{-C}_7)$ cycloalkyl radical;

$\text{X}'_1$  represents the hydrogen atom or a  $(\text{C}_3\text{-C}_7)$ cycloalkyl radical;

n represents 0 or 1;  $n'$  represents an integer from 0 to 5;

- 5 or  $\text{R}_1$  and  $\text{R}_2$  form together, with the nitrogen atom to which they are attached, a heterocycloalkyl optionally substituted by one or more identical or different  $(\text{C}_1\text{-C}_6)$ alkyl substituents; or a pharmaceutically acceptable salt thereof.

5. Compound according to claim 4, characterized in that the  $(\text{C}_3\text{-C}_7)$ cycloalkyl radical represented by  $\text{X}_1$  and  $\text{X}'_1$  is chosen from cyclopropyl, cyclobutyl and cyclohexyl; and  
10 the heterocycloalkyl that together form  $\text{R}_1$  and  $\text{R}_2$ , is the piperidine ring; or a pharmaceutically acceptable salt thereof.

6. Compound according to one of claims 1 to 2 and 4 to 5, characterized in that

$\text{R}_4$  represents a radical of formula  $-(\text{CH}_2)_s\text{-R}'_4$

- $\text{R}'_4$  represents a heterocycloalkyl containing at least one nitrogen atom and optionally  
15 substituted by  $(\text{C}_1\text{-C}_6)$ alkyl; or a radical of formula  $-\text{NW}_4\text{W}'_4$

$\text{W}_4$  represents the hydrogen atom or  $(\text{C}_1\text{-C}_8)$ alkyl;

$\text{W}'_4$  represents a radical of formula  $-(\text{CH}_2)_{s'}\text{-Z}_4$ ;

$\text{Z}_4$  represents the hydrogen atom or  $(\text{C}_1\text{-C}_8)$ alkyl;

$s$  and  $s'$  represent, independently, an integer from 2 to 4; .

- 20 or a pharmaceutically acceptable salt thereof.

7. Compound according to claim 6, characterized in that the heterocycloalkyl represented by  $\text{R}'_4$  is chosen from: piperidine and morpholine; or a pharmaceutically acceptable salt thereof.

8. Compound according to one of the preceding claims, characterized in that  $R_3$  represents  $-C(O)-Z'_3$

$Z'_3$  represents an aryl radical optionally substituted by one or more identical or different substituents chosen from halo and  $-(CH_2)_{p''}-V'_3-Y'_3$ ;

5  $V'_3$  represents  $-O-$  or a covalent bond;

$Y'_3$  represents the hydrogen atom or a  $(C_1-C_6)$ alkyl radical optionally substituted by one or more identical or different halo radicals;

$p''$  represents an integer from 0 to 2;

or a pharmaceutically acceptable salt thereof.

10 9. Compound according to one of the preceding claims, characterized in that  $R_3$  represents  $-Z_3$ ,  $-C(R_{Z3})(R'_{Z3})-Z_3$  or  $-C(R_{Z3})(R'_{Z3})-(CH_2)_p-Z_3$ ; or a pharmaceutically acceptable salt thereof.

10. Compound according to claim 9, characterized in that  $R_3$  represents  $-Z_3$  and  $Z_3$  represents  $Z_{3b}$ ,  $Z_{3c}$  or  $Z_{3e}$ ; or a pharmaceutically acceptable salt thereof.

15 11. Compound according to claim 10, characterized in that  $Z_3$  represents  $Z_{3c}$  and  $Z_{3c}$  represents an aryl radical; or a pharmaceutically acceptable salt thereof.

12. Compound according to claim 11, characterized in that  $Z_{3c}$  represents a phenyl radical substituted by one or more identical or different substituents chosen from: halo, nitro or  $-(CH_2)_p-V_3-Y_3$ ;

20  $V_3$  represents  $-O-$ ,  $-S-$ ,  $-C(O)-$ ,  $-C(O)-O-$ ,  $-SO_2NH-$ ,  $-NR'_3-C(O)-$ ,  $-C(O)-NR'_3-$  or a covalent bond;

$R'_3$  represents the hydrogen atom;

$Y_3$  represents the hydrogen atom or a  $(C_1-C_6)$ alkyl radical optionally substituted by one or more identical or different halo radicals; or a pharmaceutically acceptable salt thereof.

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13. Compound according to claim 11, characterized in that  $Z_{3c}$  represents a phenyl radical substituted by one or more identical or different substituents of formula  $-(CH_2)_p-V_3-Y_3$ ;

$V_3$  represents  $-C(O)-$ ,  $-C(O)-O-$  or  $-C(O)-NR'_3-$ ;

5  $R'_3$  represents the hydrogen atom;

$Y_3$  represents the hydrogen atom or a  $(C_1-C_6)$ alkyl radical; or a pharmaceutically acceptable salt thereof.

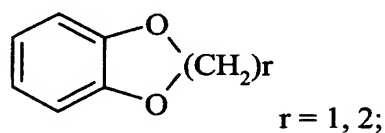
14. Compound according to claim 9, characterized in that  $R_3$  represents  $-C(R_{Z3})(R'_{Z3})-Z_3$  and  $Z_3$  represents  $Z_{3d}$  or  $Z_{3e}$ ; or a pharmaceutically acceptable salt thereof.

10 15. Compound according to claim 9, characterized in that  $R_3$  represents  $-C(R_{Z3})(R'_{Z3})-(CH_2)_p-Z_3$  and  $Z_3$  represents  $Z_{3c}$ ,  $Z_{3d}$  or  $Z_{3e}$ ; or a pharmaceutically acceptable salt thereof.

16. Compound according to claim 15, characterized in that  $Z_3$  represents  $Z_{3d}$  or  $Z_{3e}$ ;

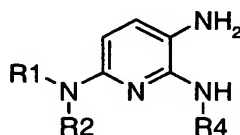
$Z_{3d}$  represents a  $(C_1-C_6)$ alkoxy-carbonyl or amino-carbonyl radical;

15  $Z_{3e}$  represents a  $(C_1-C_6)$ alkyl- $C(O)-NH-$ , heterocycloalkyl radical being optionally substituted by an oxy radical, or a radical of formula



or a pharmaceutically acceptable salt thereof.

17. Process for the preparation of a compound of formula (I) according to one of the preceding claims characterized in that the compound of general formula:



in which  $R_1$ ,  $R_2$ ,  $R_4$  have the meaning indicated in claim 1, is treated with an isothiocyanate of general formula  $R_3N=C=S$  in which  $R_3$  has the meaning indicated in claim 1, in the presence of a coupling agent or of yellow mercury (II) oxide in the presence of sulphur, for a duration of 3 to 48 hours, in a protic or aprotic solvent, at a  
5 temperature of 50 to 80°C.

**18.** Pharmaceutical composition containing, as active ingredient, at least one compound according to one of claims 1 to 16, in combination with a pharmaceutically acceptable support.

**19.** Use of a compound according to one of claims 1 to 16, for the preparation of a  
10 medicament for the treatment of weight disorders, mental disorders, pain, sexual activity disorders.

**20.** Use of a compound according to claim 19, for the preparation of a medicament for the treatment of weight disorders such as obesity, cachexia and more particularly cancer cachexia, AIDS cachexia, old age cachexia, cardiac cachexia, renal cachexia,  
15 rheumatoid arthritis cachexia, and anorexia.

**21.** Use of a compound according to claim 19, for the preparation of a medicament for the treatment of mental disorders such as anxiety and depression.

**22.** Use of a compound according to claim 19, for the preparation of a medicament for the treatment of pain and more particularly neuropathic pain.